#### WE CLAIM:

# 1. A compound of the formula (I):

$$R^1$$
 $R^2$ 
 $A^1$ 
 $A^2$ 

**(I)** 

or its pharmaceutically acceptable salt thereof, wherein

 $X^1$  is  $C(=Z^1)$  or  $CH_2$ ;

Q is CH<sub>2</sub>, C(= $Z^2$ ), S, S(= $Z^3$ ), ( $Z^3$ =)S(= $Z^4$ ), PA<sup>3</sup>, PA<sup>3</sup>(=O) or P(=O)<sub>2</sub>;

Z¹ and Z² are independently O, S or NA4;

Z³ and Z⁴ are independently O or NA⁵ wherein Z³ and Z⁴ both cannot be NA⁵;

- A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup> and A<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl wherein either A<sup>1</sup> or A<sup>2</sup> is an aromatic ring, preferably substituted with at least one carbonyl moiety; alternatively,
- A¹ and A² individually can come together to form a bridged compound comprising of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl, carbonyl, acyl, alkoxy, thiol, imine, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, amide, phosphonyl, phosphinyl, phosphoryl, phosphine, imine, thioester, anhydride, oxime, hydrazine, carbamide, carbamate, thioether, residue of a natural or synthetic amino acid or a carbohydrate;

R<sup>1</sup> and R<sup>2</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R¹ and R² independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate.

### 2. A compound of the formula (II):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>1</sup> and R<sup>2</sup> are defined above;

A<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

- R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>4</sup> and R<sup>5</sup> as well as R<sup>4/5</sup> and A<sup>6</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, alkaryl, arylalkyl, aryl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate.

### 3. A compound of the formula (III.1):

$$\begin{array}{c}
R^{7} \\
R^{6} \\
R^{7} \\
R^{8} \\
R^{7} \\
R^{9} \\
R^{10}
\end{array}$$
(III.1)

or its pharmaceutically acceptable salt thereof, wherein Q is defined above; and

A<sup>7</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>6</sup> and R<sup>7</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup>, A<sup>7</sup> and R<sup>9/10</sup>, and A<sup>7</sup> and R<sup>6/8</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

wherein if A<sup>7</sup> and R<sup>6/8</sup> independently come together to form a seven-membered bridged compound, then Q cannot be C(=O).

## 4. A compound of the formula (III.2):

$$\begin{array}{c}
R^7 \\
(CH_2)_m \\
N \\
A^7 \\
R^6 \\
\downarrow \\
R^{10} \\
R^9 \\
(III.2)
\end{array}$$

or its pharmaceutically acceptable salt thereof, wherein Q, A<sup>7</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

m is 0 or 1;

Y1 is O, S, NA8 or CR11R12; and

A<sup>8</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, or alkcarbonyl;

- R<sup>11</sup> and R<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>11</sup> and R<sup>12</sup> independently can come together to form a spiro or bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate.

### 5. A compound of the formula (III.3):

$$\begin{array}{c}
R^7 \\
X^2 \\
R^6 \\
Q \\
R^{10}
\end{array}$$

(III.3)

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

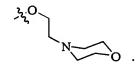
Y2 is O, S, NA9 or CR15R16;

 $X^2$  is  $C(=Z^5)$  or  $CR^{17}R^{18}$ ;

 $Z^5$  is O, S or  $NA^{10}$ ;

- A<sup>9</sup> and A<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;
- R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- R<sup>15</sup> and R<sup>16</sup> as well as R<sup>17</sup> and R<sup>18</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; and

R<sup>15</sup> or R<sup>16</sup> independently cannot be the following moiety:



## 6. A compound of the formula (III.4):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

 $Y^3$  is O, S or  $NA^{11}$ ;

 $X^{3}$  is  $C(=Z^{6})$ ;

 $Z^6$  is O, S or  $NA^{12}$ ;

A<sup>11</sup> and A<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, or alkcarbonyl;

R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup> and R<sup>22</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

R<sup>19</sup> and R<sup>20</sup> as well as R<sup>21</sup> and R<sup>22</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid,

amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; and

A<sup>11</sup> and R<sup>19/20</sup> or R<sup>21/22</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate.

7. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (I):

$$R^1$$
 $X^1$ 
 $A^1$ 
 $A^2$ 

**(I)** 

or its pharmaceutically acceptable salt thereof, wherein

 $X^1$  is  $C(=Z^1)$  or  $CH_2$ ;

Q is  $CH_2$ ,  $C(=Z^2)$ , S,  $S(=Z^3)$ ,  $(Z^3=)S(=Z^4)$ ,  $PA^3$ ,  $PA^3(=O)$  or  $P(=O)_2$ ;

Z<sup>1</sup> and Z<sup>2</sup> are independently O, S or NA<sup>4</sup>;

Z<sup>3</sup> and Z<sup>4</sup> are independently O or NA<sup>5</sup> wherein Z<sup>3</sup> and Z<sup>4</sup> both cannot be NA<sup>5</sup>;

A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup> and A<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic,

heteroaromatic, alkcarbonyl wherein either A<sup>1</sup> or A<sup>2</sup> is an aromatic ring, preferably substituted with at least one carbonyl moiety; alternatively,

- A¹ and A² individually can come together to form a bridged compound comprising of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl, carbonyl, acyl, alkoxy, thiol, imine, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, amide, phosphonyl, phosphinyl, phosphoryl, phosphine, imine, thioester, anhydride, oxime, hydrazine, carbamide, carbamate, thioether, residue of a natural or synthetic amino acid or a carbohydrate;
- R¹ and R² are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R¹ and R² independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in a pharmaceutically acceptable carrier or diluent.

8. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (II):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>1</sup> and R<sup>2</sup> are defined above;

A<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

R³, R⁴ and R⁵ are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>4</sup> and R<sup>5</sup> as well as R<sup>4/5</sup> and A<sup>6</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime,

hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in a pharmaceutically acceptable carrier or diluent.

9. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.1):

or its pharmaceutically acceptable salt thereof, wherein Q is defined above; and

- A<sup>7</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;
- R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>6</sup> and R<sup>7</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup>, A<sup>7</sup> and R<sup>9/10</sup>, and A<sup>7</sup> and R<sup>6/8</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl,

sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

wherein if A<sup>7</sup> and R<sup>6/8</sup> independently come together to form a seven-membered bridged compound, then Q cannot be C(=O);

in a pharmaceutically acceptable carrier or diluent.

10. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.2):

or its pharmaceutically acceptable salt thereof, wherein Q, A<sup>7</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

m is 0 or 1;

Y1 is O, S, NA8 or CR11R12; and

A<sup>8</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

R<sup>11</sup> and R<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl,

sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>11</sup> and R<sup>12</sup> independently can come together to form a spiro or bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in a pharmaceutically acceptable carrier or diluent.

11. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.3):

$$\begin{array}{cccc}
R^7 & & & Y^2 \\
& & & X^2 & \\
& & & & \\
R^{10} & & & R^9
\end{array}$$
(III.3)

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

Y<sup>2</sup> is O, S, NA<sup>9</sup> or CR<sup>15</sup>R<sup>16</sup>;

 $X^2$  is  $C(=Z^5)$  or  $CR^{17}R^{18}$ ;

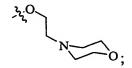
 $Z^5$  is O, S or NA<sup>10</sup>;

A<sup>9</sup> and A<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl; and

R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfanyl, sulfanyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

R<sup>15</sup> and R<sup>16</sup> as well as R<sup>17</sup> and R<sup>18</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; and

R<sup>15</sup> or R<sup>16</sup> independently cannot be the following moiety:



in a pharmaceutically acceptable carrier or diluent.

12. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.4):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

 $Y^3$  is O, S or  $NA^{11}$ ;

 $X^{3}$  is  $C(=Z^{6})$ ;

 $Z^6$  is O, S or NA<sup>12</sup>;

- A<sup>11</sup> and A<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, or alkcarbonyl;
- R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup> and R<sup>22</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- R<sup>19</sup> and R<sup>20</sup> as well as R<sup>21</sup> and R<sup>22</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy,

amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

A<sup>11</sup> and R<sup>19/20</sup> or R<sup>21/22</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in a pharmaceutically acceptable carrier or diluent.

13. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (I):

$$\begin{array}{cccc}
R^1 & X^1 \\
R^2 & X^1 \\
A^1 - N & A^2
\end{array}$$
(I)

or its pharmaceutically acceptable salt thereof, wherein

 $X^1$  is  $C(=Z^1)$  or  $CH_2$ ;

Q is CH<sub>2</sub>, C(= $Z^2$ ), S, S(= $Z^3$ ), ( $Z^3$ =)S(= $Z^4$ ), PA<sup>3</sup>, PA<sup>3</sup>(=O) or P(=O)<sub>2</sub>;

 $Z^1$  and  $Z^2$  are independently O, S or NA<sup>4</sup>;

- Z³ and Z⁴ are independently O or NA⁵ wherein Z³ and Z⁴ both cannot be NA⁵;
- A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup> and A<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl wherein either A<sup>1</sup> or A<sup>2</sup> is an aromatic ring, preferably substituted with at least one carbonyl moiety; alternatively,
- A¹ and A² individually can come together to form a bridged compound comprising of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl, carbonyl, acyl, alkoxy, thiol, imine, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, amide, phosphonyl, phosphinyl, phosphoryl, phosphine, imine, thioester, anhydride, oxime, hydrazine, carbamide, carbamate, thioether, residue of a natural or synthetic amino acid or a carbohydrate;
- R<sup>1</sup> and R<sup>2</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R¹ and R² independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- in combination with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

14. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (II):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>1</sup> and R<sup>2</sup> are defined above;

A<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

- R³, R⁴ and R⁵ are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>4</sup> and R<sup>5</sup> as well as R<sup>4/5</sup> and A<sup>6</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime,

hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in combination with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

15. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.1):

$$\begin{array}{cccc}
R^7 & & & & & \\
R^8 & & & & & & \\
R^9 & & & & & & \\
R^{10} & & & & & & \\
\end{array}$$
(III.1)

or its pharmaceutically acceptable salt thereof, wherein Q is defined above; and

A<sup>7</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

- R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>6</sup> and R<sup>7</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup>, A<sup>7</sup> and R<sup>9/10</sup>, and A<sup>7</sup> and R<sup>6/8</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl,

heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

wherein if A<sup>7</sup> and R<sup>6/8</sup> independently come together to form a seven-membered bridged compound, then Q cannot be C(=O);

in combination with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

16. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.2):

$$\begin{array}{c}
\mathbb{R}^{7} & (CH_{2})_{m} \\
\mathbb{R}^{6} & \mathbb{Q} \\
\mathbb{R}^{10} & \mathbb{R}^{9}
\end{array}$$
(III.2)

or its pharmaceutically acceptable salt thereof, wherein Q, A<sup>7</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

m is 0 or 1;

Y1 is O, S, NA8 or CR11R12; and

A<sup>8</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

- R<sup>11</sup> and R<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>11</sup> and R<sup>12</sup> independently can come together to form a spiro or bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in combination with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

17. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.3):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

 $Y^2$  is O, S, NA<sup>9</sup> or CR<sup>15</sup>R<sup>16</sup>;

 $X^2$  is  $C(=Z^5)$  or  $CR^{17}R^{18}$ ;

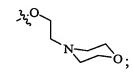
 $Z^5$  is O, S or NA<sup>10</sup>;

A<sup>9</sup> and A<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl; and

R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

R<sup>15</sup> and R<sup>16</sup> as well as R<sup>17</sup> and R<sup>18</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; and

R<sup>15</sup> or R<sup>16</sup> independently cannot be the following moiety:



in combination with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

18. A pharmaceutical composition for the treatment or prophylaxis of a disorder mediated by a vasopressin receptor comprising an agonistic or antagonistic effective amount of a compound of the formula (III.4):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

 $Y^3$  is O, S or  $NA^{11}$ ;

 $X^3$  is  $C(=Z^6)$ ;

 $Z^6$  is O, S or  $NA^{12}$ ;

A<sup>11</sup> and A<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, or alkcarbonyl;

R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup> and R<sup>22</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime,

hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

- R<sup>19</sup> and R<sup>20</sup> as well as R<sup>21</sup> and R<sup>22</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- A<sup>11</sup> and R<sup>19/20</sup> or R<sup>21/22</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in combination with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

19. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (I):

$$R^1$$
 $R^2$ 
 $A^1$ 
 $A^2$ 

or its pharmaceutically acceptable salt thereof, wherein

 $X^1$  is  $C(=Z^1)$  or  $CH_2$ ;

Q is CH<sub>2</sub>, C(= $Z^2$ ), S, S(= $Z^3$ ), ( $Z^3$ =)S(= $Z^4$ ), PA<sup>3</sup>, PA<sup>3</sup>(=O) or P(=O)<sub>2</sub>;

Z<sup>1</sup> and Z<sup>2</sup> are independently O, S or NA<sup>4</sup>;

Z³ and Z⁴ are independently O or NA⁵ wherein Z³ and Z⁴ both cannot be NA⁵;

- A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup> and A<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl wherein either A<sup>1</sup> or A<sup>2</sup> is an aromatic ring, preferably substituted with at least one carbonyl moiety; alternatively,
- A¹ and A² individually can come together to form a bridged compound comprising of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl, carbonyl, acyl, alkoxy, thiol, imine, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, amide, phosphonyl, phosphinyl, phosphoryl, phosphine, imine, thioester, anhydride, oxime, hydrazine, carbamide, carbamate, thioether, residue of a natural or synthetic amino acid or a carbohydrate;
- R<sup>1</sup> and R<sup>2</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>1</sup> and R<sup>2</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol,

sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

optionally in a pharmaceutically acceptable carrier or diluent.

20. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (II):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>1</sup> and R<sup>2</sup> are defined above;

A<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

R³, R⁴ and R⁵ are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>4</sup> and R<sup>5</sup> as well as R<sup>4/5</sup> and A<sup>6</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

optionally in a pharmaceutically acceptable carrier or diluent.

21. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.1):

or its pharmaceutically acceptable salt thereof, wherein Q is defined above; and

- A<sup>7</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;
- R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime,

hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>6</sup> and R<sup>7</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup>, A<sup>7</sup> and R<sup>9/10</sup>, and A<sup>7</sup> and R<sup>6/8</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

wherein if A<sup>7</sup> and R<sup>6/8</sup> independently come together to form a seven-membered bridged compound, then Q cannot be C(=O);

optionally in a pharmaceutically acceptable carrier or diluent.

22. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.2):

$$\begin{array}{c}
R^7 \\
(CH_2)_m \\
N \\
A^7 \\
R^9 \\
R^{10}
\end{array}$$
(III.2)

or its pharmaceutically acceptable salt thereof, wherein Q, A<sup>7</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

m is 0 or 1;

 $Y^{1}$  is O, S, NA<sup>8</sup> or  $CR^{11}R^{12}$ ; and

A<sup>8</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

- R<sup>11</sup> and R<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>11</sup> and R<sup>12</sup> independently can come together to form a spiro or bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

optionally in a pharmaceutically acceptable carrier or diluent.

23. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.3):

(III.3)

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

Y<sup>2</sup> is O, S, NA<sup>9</sup> or CR<sup>15</sup>R<sup>16</sup>;

 $X^2$  is  $C(=Z^5)$  or  $CR^{17}R^{18}$ ;

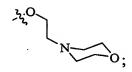
 $Z^5$  is O, S or NA<sup>10</sup>;

A<sup>9</sup> and A<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl; and

R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

R<sup>15</sup> and R<sup>16</sup> as well as R<sup>17</sup> and R<sup>18</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; and

R<sup>15</sup> or R<sup>16</sup> independently cannot be the following moiety:



optionally in a pharmaceutically acceptable carrier or diluent.

A method for the treatment or prophylaxis of a disorder mediated by the 24. vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.4):

(III.4)

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

Y<sup>3</sup> is O. S or NA<sup>11</sup>;

 $X^{3}$  is  $C(=Z^{6})$ ;

 $Z^6$  is O, S or  $NA^{12}$ ;

A<sup>11</sup> and A<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, cycloalkenyl, heteroaromatic, or alkcarbonyl;

R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup> and R<sup>22</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

R<sup>19</sup> and R<sup>20</sup> as well as R<sup>21</sup> and R<sup>22</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

A<sup>11</sup> and R<sup>19/20</sup> or R<sup>21/22</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

optionally in a pharmaceutically acceptable carrier or diluent.

25. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (I):

**(I)** 

or its pharmaceutically acceptable salt thereof, wherein

 $X^1$  is  $C(=Z^1)$  or  $CH_2$ ;

Q is CH<sub>2</sub>, C(= $Z^2$ ), S, S(= $Z^3$ ), ( $Z^3$ =)S(= $Z^4$ ), PA<sup>3</sup>, PA<sup>3</sup>(=O) or P(=O)<sub>2</sub>;

Z<sup>1</sup> and Z<sup>2</sup> are independently O, S or NA<sup>4</sup>;

Z<sup>3</sup> and Z<sup>4</sup> are independently O or NA<sup>5</sup> wherein Z<sup>3</sup> and Z<sup>4</sup> both cannot be NA<sup>5</sup>;

- A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup> and A<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl wherein either A<sup>1</sup> or A<sup>2</sup> is an aromatic ring, preferably substituted with at least one carbonyl moiety; alternatively,
- A¹ and A² individually can come together to form a bridged compound comprising of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkcarbonyl, carbonyl, acyl, alkoxy, thiol, imine, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, amide, phosphonyl, phosphinyl, phosphoryl, phosphine, imine, thioester, anhydride, oxime, hydrazine, carbamide, carbamate, thioether, residue of a natural or synthetic amino acid or a carbohydrate;
- R<sup>1</sup> and R<sup>2</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>1</sup> and R<sup>2</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide,

anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

- in combination or alternation with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.
- 26. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (II):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>1</sup> and R<sup>2</sup> are defined above;

A<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrozinc, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>4</sup> and R<sup>5</sup> as well as R<sup>4/5</sup> and A<sup>6</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

in combination or alternation with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

27. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.1):

$$\begin{array}{c}
R^7 \\
R^8 \\
R^6
\end{array}$$

$$\begin{array}{c}
R^8 \\
N \\
R^{10}
\end{array}$$
(III.1)

or its pharmaceutically acceptable salt thereof, wherein Q is defined above; and

A<sup>7</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;

R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester,

acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively

R<sup>6</sup> and R<sup>7</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup>, A<sup>7</sup> and R<sup>9/10</sup>, and A<sup>7</sup> and R<sup>6/8</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;

wherein if A<sup>7</sup> and R<sup>6/8</sup> independently come together to form a seven-membered bridged compound, then Q cannot be C(=O);

in combination or alternation with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

28. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.2):

or its pharmaceutically acceptable salt thereof, wherein Q, A<sup>7</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

m is 0 or 1;

Y<sup>1</sup> is O, S, NA<sup>8</sup> or CR<sup>11</sup>R<sup>12</sup>; and

- A<sup>8</sup> is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl;
- R<sup>11</sup> and R<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; alternatively
- R<sup>11</sup> and R<sup>12</sup> independently can come together to form a spiro or bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- in combination or alternation with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

29. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.3):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

Y2 is O, S, NA9 or CR15R16;

 $X^2$  is  $C(=Z^5)$  or  $CR^{17}R^{18}$ ;

 $Z^5$  is O, S or  $NA^{10}$ ;

- A<sup>9</sup> and A<sup>10</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, arylalkyl, arylalkyl, heterocyclic, heteroaromatic or alkcarbonyl; and
- R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- R<sup>15</sup> and R<sup>16</sup> as well as R<sup>17</sup> and R<sup>18</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy,

amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfanyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate; and

R<sup>15</sup> or R<sup>16</sup> independently cannot be the following moiety:

in combination or alternation with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

30. A method for the treatment or prophylaxis of a disorder mediated by the vasopressin receptor comprising administering an agonistic or antagonistic effective amount of a compound of the formula (III.4):

or its pharmaceutically acceptable salt thereof, wherein Q, R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup> and R<sup>10</sup> are defined above;

 $Y^3$  is O, S or  $NA^{11}$ ;

 $X^3$  is  $C(=Z^6)$ ;

Z<sup>6</sup> is O, S or NA<sup>12</sup>;

- A<sup>11</sup> and A<sup>12</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, or alkcarbonyl;
- R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup> and R<sup>22</sup> are independently hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- R<sup>19</sup> and R<sup>20</sup> as well as R<sup>21</sup> and R<sup>22</sup> independently can come together to form a spiro compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- A<sup>11</sup> and R<sup>19/20</sup> or R<sup>21/22</sup> independently can come together to form a bridged compound comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, alkaryl, arylalkyl, heterocyclic, heteroaromatic, alkoxy, amino, halogen, silyl, thiol, sulfonyl, sulfanyl, sulfinyl, sulfamonyl, hydroxyl, ester, alkcarbonyl, carbonyl, acyl, thioester, acid halide, carboxylic acid, amide, imine, nitro, cyano, phosphonyl, phosphinyl, phosphoryl, phosphine, thioester, acid halide, anhydride, oxime, hydrazine, carbamate, thioether anhydride, residue of a natural or synthetic amino acid, or carbohydrate;
- in combination or alternation with one or more other effective vasopressin receptor agonists or antagonists, optionally in a pharmaceutically acceptable carrier or diluent.

- 31. The method of any one of claims 19-30, wherein the disorder mediated by the vasopressin receptor is renal dysfunction.
- 32. The method of any one of claims 19-30, wherein the disorder mediated by the vasopressin receptor is hypertension.
- 33. The method of any one of claims 19-32, wherein the host is a human.